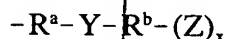


WHAT IS CLAIMED IS:

1. A glycopeptide compound having at least one substituent of the formula:



5 wherein

each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

10 each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when Z is hydrogen;

15 each Y is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  $-OSO_2-$ ,  $-C(O)NR^c-$ ,  $-C(O)O-$ ,  $-SO_2NR^c-$ ,  $-SO_2O-$ ,  $-P(O)(OR^c)O-$ ,  $-P(O)(OR^c)NR^c-$ ,  $-OP(O)(OR^c)O-$ ,  $-OP(O)(OR^c)NR^c-$ ,  $-OC(O)O-$ ,  $-NR^cC(O)O-$ ,  $-NR^cC(O)NR^c-$ ,  $-OC(O)NR^c-$  and  $-NR^cSO_2NR^c-$ ;

20 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

25 each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$x$  is 1 or 2;

and pharmaceutically acceptable salts thereof;

5 provided that:

(i) when  $Y$  is  $-NR^c-$ ,  $R^c$  is alkyl of 1 to 4 carbon atoms,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;

(ii) when  $Y$  is  $-C(O)NR^c-$ ,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;

10 (iii) when  $Y$  is sulfur,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 7 carbon atoms; and

(iv) when  $Y$  is oxygen,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 11 carbon atoms.

15 2. The compound of Claim 1, wherein the glycopeptide compound is substituted with from 1 to 3 substituents of the formula  $-R^a-Y-R^b-(Z)_x$ .

3. The compound of Claim 2, wherein each  $R^a$  is independently selected from alkylene having from 1 to 10 carbon atoms.

4. The compound of Claim 3, wherein  $R^a$  is ethylene or propylene.

20 5. The compound of Claim 2, wherein  $Z$  is hydrogen and  $R^b$  is alkylene of from 8 to 12 carbon atoms.

6. The compound of Claim 5, wherein  $R^b$  and  $Z$  form an  $n$ -octyl,  $n$ -nonyl,  $n$ -decyl,  $n$ -undecyl or  $n$ -dodecyl group.

7. The compound of Claim 2, wherein Z is aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclic and R<sup>b</sup> is a covalent bond or alkylene of from 1 to 10 carbon atoms.

5 8. The compound of Claim 7, wherein Z is aryl and R<sup>b</sup> is a covalent bond, methylene, -(CH<sub>2</sub>)<sub>6</sub>-, -(CH<sub>2</sub>)<sub>7</sub>-, -(CH<sub>2</sub>)<sub>8</sub>-, -(CH<sub>2</sub>)<sub>9</sub>- or -(CH<sub>2</sub>)<sub>10</sub>-.

9. The compound of Claim 2, wherein each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR<sup>c</sup>-, -S(O)-, -SO<sub>2</sub>-, -NR<sup>c</sup>C(O)-, -OC(O)-, -NR<sup>c</sup>SO<sub>2</sub>-, -C(O)NR<sup>c</sup>-, -C(O)O- and -SO<sub>2</sub>NR<sup>c</sup>-.

10 10. The compound of Claim 9, wherein Y is oxygen, sulfur, -NR<sup>c</sup>- or -NR<sup>c</sup>SO<sub>2</sub>-.

11. The compound of Claim 2, wherein each Z is independently selected from hydrogen, aryl, cycloalkyl, heteroaryl and heterocyclic.

12. The compound of Claim 11, wherein Z is hydrogen or aryl.

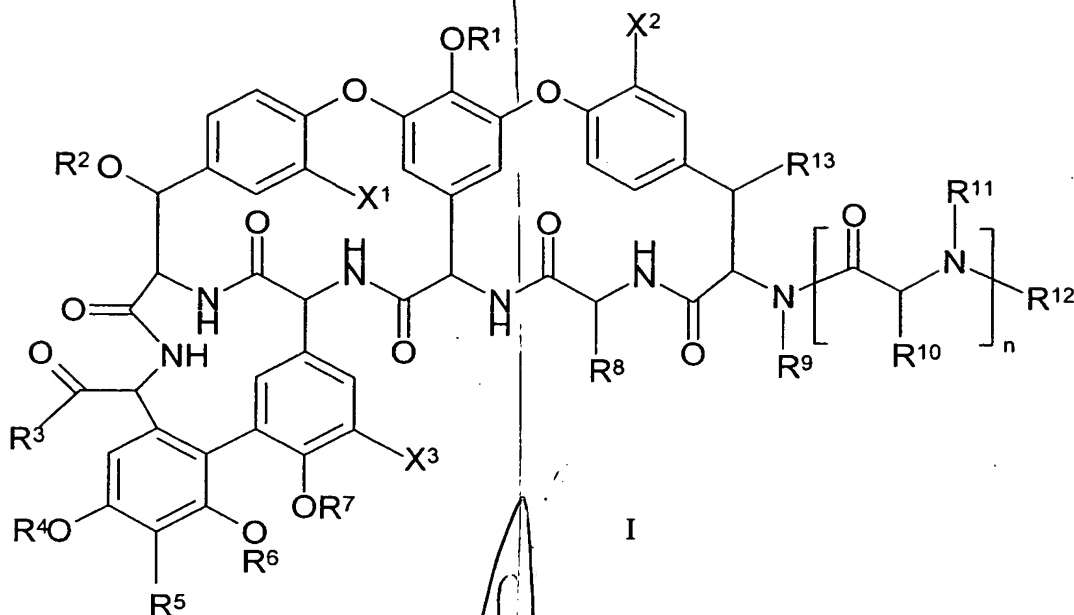
15 13. The compound of Claim 12, wherein Z is phenyl, substituted phenyl, biphenyl, substituted biphenyl or terphenyl.

14. The compound of Claim 2, wherein the -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub> group is selected from the group consisting of:

- 20
- CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;

- $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_{11}\text{CH}_3;$   
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3;$   
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3;$   
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_{10}\text{CH}_3;$   
5  $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3;$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3;$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_3-\text{CH}=\text{CH}-(\text{CH}_2)_4\text{CH}_3$  (*trans*);  
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_7\text{CH}_3;$   
 $-\text{CH}_2\text{CH}_2-\text{S}(\text{O})-(\text{CH}_2)_9\text{CH}_3;$   
10  $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_6\text{Ph};$   
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph};$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph};$   
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-[3-(\text{CH}_3)_2\text{CHCH}_2-]-\text{Ph};$   
15  $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{CF}_3-\text{Ph})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2-\text{S}(\text{O})-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}(\text{O})-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$   
20  $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-[3,4-\text{di-Cl-PhCH}_2\text{O-})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-[4-(4-\text{Ph})-\text{Ph}]-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-(\text{Ph}-\text{C}\equiv\text{C-})-\text{Ph};$   
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$  and  
25  $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-4-(\text{naphth-2-yl})-\text{Ph}.$

15. A compound of formula I:



wherein

5  $R^1$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-R^a-Y-R^b-(Z)_x$ , or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

10  $R^2$  is hydrogen or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

$R^3$  is  $-OR^c$ ,  $-NR^cR^c$ ,  $-O-R^a-Y-R^b-(Z)_x$ ,  $-NR^c-R^a-Y-R^b-(Z)_x$ ,  $-NR^cR^c$ , or  $-O-R^c$ ;

15  $R^4$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

R<sup>5</sup> is selected from the group consisting of hydrogen, halo,  
-CH(R<sup>c</sup>)-NR<sup>c</sup>R<sup>c</sup>, -CH(R<sup>c</sup>)-NR<sup>c</sup>R<sup>c</sup> and -CH(R<sup>c</sup>)-NR<sup>c</sup>-R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>;

R<sup>6</sup> is selected from the group consisting of hydrogen, alkyl, substituted  
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>,  
5 -C(O)R<sup>d</sup> and a saccharide group optionally substituted with -NR<sup>c</sup>-R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>,  
or R<sup>5</sup> and R<sup>6</sup> can be joined, together with the atoms to which they are attached,  
form a heterocyclic ring optionally substituted with -NR<sup>c</sup>-R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>;

R<sup>7</sup> is selected from the group consisting of hydrogen, alkyl, substituted  
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>,  
10 and -C(O)R<sup>d</sup>;

R<sup>8</sup> is selected from the group consisting of hydrogen, alkyl, substituted  
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,  
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and  
heterocyclic;

R<sup>9</sup> is selected from the group consisting of hydrogen, alkyl, substituted  
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,  
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and  
heterocyclic;

R<sup>10</sup> is selected from the group consisting of hydrogen, alkyl, substituted  
20 alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,  
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and  
heterocyclic; or R<sup>8</sup> and R<sup>10</sup> are joined to form -Ar<sup>1</sup>-O-Ar<sup>2</sup>-, where Ar<sup>1</sup> and Ar<sup>2</sup>  
are independently arylene or heteroarylene;

R<sup>11</sup> is selected from the group consisting of hydrogen, alkyl, substituted  
25 alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,  
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and  
heterocyclic, or R<sup>10</sup> and R<sup>11</sup> are joined, together with the carbon and nitrogen  
atoms to which they are attached, to form a heterocyclic ring;

$R^{12}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,  $-C(O)R^d$ ,  $-C(NH)R^d$ ,  $-C(O)NR^cR^c$ ,  $-C(O)OR^d$ ,  $-C(NH)NR^cR^c$  and  $-R^a-Y-R^b-(Z)_x$ , or  $R^{11}$  and  $R^{12}$  are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

$R^{13}$  is selected from the group consisting of hydrogen or  $-OR^{14}$ ;

$R^{14}$  is selected from hydrogen,  $-C(O)R^d$  and a saccharide group;

each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when  $Z$  is hydrogen;

each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^e$  is a saccharide group;

$X^1$ ,  $X^2$  and  $X^3$  are independently selected from hydrogen or chloro;

each  $Y$  is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^e-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^eC(O)-$ ,  $-OSO_2-$ ,  $-OC(O)-$ ,  $-NR^eSO_2-$ ,  $-C(O)NR^e-$ ,  $-C(O)O-$ ,  $-SO_2NR^e-$ ,  $-SO_2O-$ ,  $-P(O)(OR^e)O-$ ,

$-\text{P}(\text{O})(\text{OR}^c)\text{NR}^c-$ ,  $-\text{OP}(\text{O})(\text{OR}^c)\text{O}-$ ,  $-\text{OP}(\text{O})(\text{OR}^c)\text{NR}^c-$ ,  $-\text{OC}(\text{O})\text{O}-$ ,  
 $-\text{NR}^c\text{C}(\text{O})\text{O}-$ ,  $-\text{NR}^c\text{C}(\text{O})\text{NR}^c-$ ,  $-\text{OC}(\text{O})\text{NR}^c-$  and  $-\text{NR}^c\text{SO}_2\text{NR}^c-$ ;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

5  $n$  is 0, 1 or 2;

$x$  is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$ ,  $\text{R}^4$ ,  $\text{R}^5$ ,  $\text{R}^6$ ,  $\text{R}^7$  or  $\text{R}^{12}$  has a substituent of the formula  $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$ ;

10 and further provided that:

(i) when Y is  $-\text{NR}^c-$ ,  $\text{R}^c$  is alkyl of 1 to 4 carbon atoms, Z is hydrogen and  $\text{R}^b$  is alkylene, then  $\text{R}^b$  contains at least 5 carbon atoms;

(ii) when Y is  $-\text{C}(\text{O})\text{NR}^c-$ , Z is hydrogen and  $\text{R}^b$  is alkylene, then  $\text{R}^b$  contains at least 5 carbon atoms;

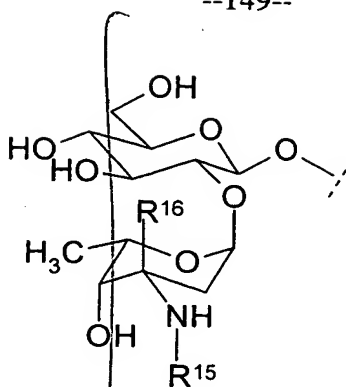
15 (iii) when Y is sulfur, Z is hydrogen and  $\text{R}^b$  is alkylene, then  $\text{R}^b$  contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and  $\text{R}^b$  is alkylene, then  $\text{R}^b$  contains at least 11 carbon atoms.

20 16. The compound of Claim 15, wherein  $\text{R}^1$  is a saccharide group optionally substituted with  $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$ .

17. The compound of Claim 16, wherein  $\text{R}^1$  is a saccharide group of the formula:





wherein

$R^{15}$  is  $-R^a-Y-R^b-(Z)_x$ ; and

$R^{16}$  is hydrogen or methyl.

18. The compound of Claim 17, wherein  $R^{15}$  is a  $-R^a-Y-R^b-(Z)_x$  group  
5 selected from the group consisting of:

- CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- 10 -CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>10</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- 15 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>3</sub>-CH=CH-(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub> (*trans*);
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S(O)-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>Ph;

- 5
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-[4-CH<sub>3</sub>)<sub>2</sub>CHCH<sub>2</sub>-]-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-CF<sub>3</sub>-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - 10 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-[3,4-di-Cl-PhCH<sub>2</sub>O-)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-[4-(4-Ph)-Ph]-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(Ph-C≡C-)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(4-Cl-Ph)-Ph; and
  - 15 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(naphth-2-yl)-Ph.

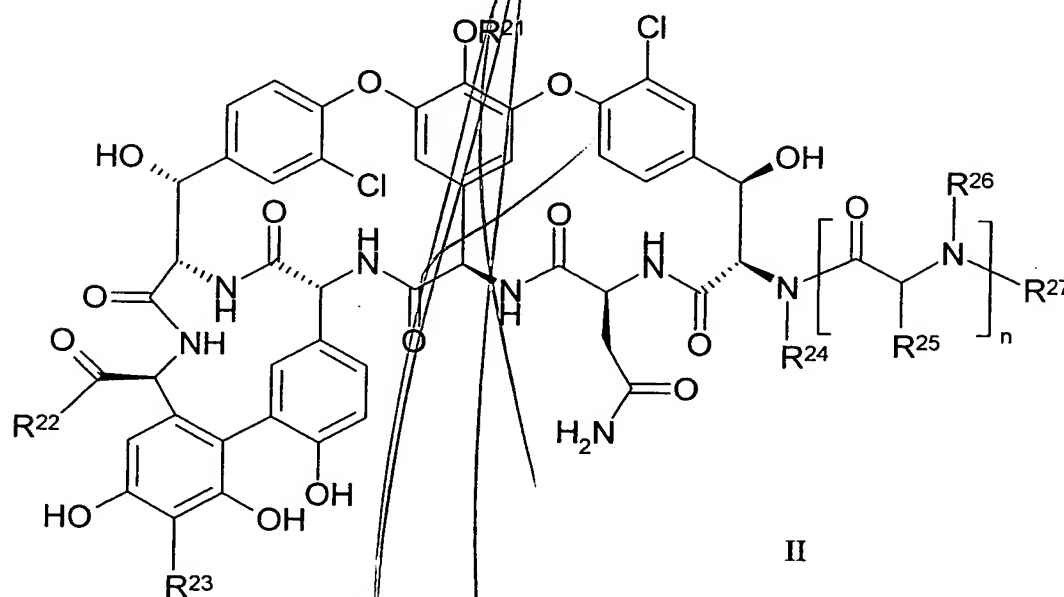
19. The compound of Claim 15, wherein R<sup>3</sup> is -OH or -NR<sup>c</sup>R<sup>c</sup>.
20. The compound of Claim 15, wherein R<sup>5</sup> is hydrogen, -CH<sub>2</sub>-N-(N-CH<sub>3</sub>-D-glucamine); -CH<sub>2</sub>-NH-CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>; -CH<sub>2</sub>-NH-CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>; -CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>5</sub>-COOH; and -CH<sub>2</sub>-N-(2-amino-2-deoxygluconic acid).
21. The compound of Claim 15, wherein R<sup>8</sup> is -CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>COOH, benzyl, 4-hydroxyphenyl or 3-chloro-4-hydroxyphenyl.
22. The compound of Claim 15, wherein R<sup>9</sup> is hydrogen and R<sup>11</sup> is hydrogen or methyl.

23. The compound of Claim 22, wherein  $R^{10}$  is alkyl or substituted alkyl.

24. The compound of Claim 23, wherein  $R^{12}$  is hydrogen, alkyl, substituted alkyl or  $-C(O)R^d$ .

5 25. The compound of Claim 24, wherein  $n$  is 1.

26. A compound of formula II:



wherein

10  $R^{21}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-R^a-Y-R^b-(Z)_x$ ; or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

$R^{22}$  is  $-OR^c$ ,  $-NR^cR^c$ ,  $-O-R^a-Y-R^b-(Z)_x$  or  $-NR^c-R^a-Y-R^b-(Z)_x$ ;

$R^{23}$  is selected from the group consisting of hydrogen, halo,  
 $-CH(R^c)-NR^cR^c$ ,  $-CH(R^c)-R^c$  and  $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$ ;

$R^{24}$  is selected from the group consisting of hydrogen and lower alkyl;

5  $R^{25}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^{26}$  is selected from the group consisting of hydrogen and lower alkyl; or  
10  $R^{25}$  and  $R^{26}$  are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

$R^{27}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl,  
15 heterocyclic,  $-C(O)R^d$ ,  $-C(NH)R^d$ ,  $-C(O)NR^cR^c$ ,  $-C(O)OR^d$ ,  $-C(NH)NR^cR^c$  and  $-R^a-Y-R^b-(Z)_x$ , or  $R^{26}$  and  $R^{27}$  are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted  
20 alkynylene;

each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when Z is hydrogen;

25 each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

5  $R^e$  is an aminosaccharide group;

each Y is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OSO_2-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  $-C(O)NR^c-$ ,  $-C(O)O-$ ,  $-SO_2NR^c-$ ,  $-SO_2O-$ ,  $-P(O)(OR^c)O-$ ,  $-P(O)(OR^c)NR^c-$ ,  $-OP(O)(OR^c)O-$ ,  $-OP(O)(OR^c)NR^c-$ ,  $-OC(O)O-$ ,  $-NR^cC(O)O-$ ,  $-NR^cC(O)NR^c-$ ,  $-OC(O)NR^c-$  and  $-NR^cSO_2NR^c-$ ;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

$n$  is 0, 1 or 2;

$x$  is 1 or 2;

15 and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof; provided that at least one of  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$  or  $R^{27}$  has a substituent of the formula  $-R^a-Y-R^b-(Z)_x$ ;

and further provided that:

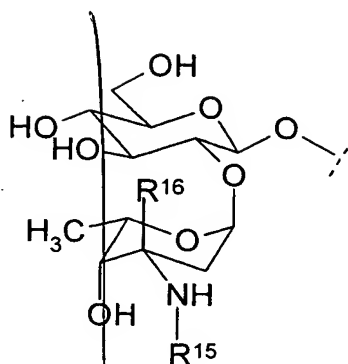
20 (i) when Y is  $-NR^c-$ ,  $R^a$  is alkyl of 1 to 4 carbon atoms, Z is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;

(ii) when Y is  $-C(O)NR^c-$ , Z is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;

(iii) when Y is sulfur, Z is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 7 carbon atoms; and

25 (iv) when Y is oxygen, Z is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 11 carbon atoms.

27. The compound of Claim 26, wherein  $R^{21}$  is a saccharide group of the formula:



wherein

$R^{15}$  is  $-R^a-Y-R^b-(Z)_x$ , and

$R^{16}$  is hydrogen or methyl.

28. The compound of Claim 27, wherein  $R^{15}$  is a  $-R^a-Y-R^b-(Z)_x$  group  
5 selected from the group consisting of:

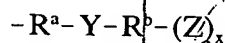
- CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- 10 -CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>10</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- 15 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>3</sub>-CH=CH-(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub> (*trans*);
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S(O)-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>Ph;
- 20 -CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;

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29. The compound of Claim 26, wherein  $R^{22}$  is  $-\text{OH}$  or  $-\text{NR}^c\text{R}^c$ .
30. The compound of Claim 26, wherein  $R^{23}$  is hydrogen,  $-\text{CH}_2-\text{N}(\text{N}-\text{CH}_3-\text{D-glucamine})$ ;  $-\text{CH}_2-\text{NH}-\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_9\text{CH}_3$ ;  $-\text{CH}_2-\text{NH}-\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_{11}\text{CH}_3$ ;  $-\text{CH}_2-\text{NH}-(\text{CH}_2)_5-\text{COOH}$ ; or  $-\text{CH}_2-\text{N}(2\text{-amino-2-deoxygluconic acid})$ .
31. The compound of Claim 26, wherein  $R^{24}$  is hydrogen and  $R^{26}$  is hydrogen or methyl.
32. The compound of Claim 31, wherein  $R^{25}$  is alkyl or substituted alkyl.
33. The compound of Claim 32, wherein  $R^{25}$  is isobutyl.
- $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4\text{-Cl-Ph})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-[4\text{-(CH}_3)_2\text{CHCH}_2-]-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4\text{-CF}_3\text{-Ph})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4\text{-Cl-Ph})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2-\text{S(O)}-\text{CH}_2-4-(4\text{-Cl-Ph})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4\text{-Cl-Ph})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S(O)}-\text{CH}_2-4-(4\text{-Cl-Ph})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-[3,4\text{-di-Cl-PhCH}_2\text{O-})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-[4-(4\text{-Ph})-\text{Ph}]-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-(4\text{-Cl-Ph})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-(\text{Ph-C}\equiv\text{C-})-\text{Ph}$ ;  
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-4-(4\text{-Cl-Ph})-\text{Ph}$ ; and  
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-4-(\text{naphth-2-yl})-\text{Ph}$ .

34. The compound of Claim 33, wherein  $R^{27}$  is hydrogen, alkyl, substituted alkyl or  $-C(O)R^d$ .

35. A compound shown in any of Tables I, II, III, IV, V or VI, or a pharmaceutically-acceptable salt thereof.

5 36. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a glycopeptide compound having at least one substituent of the formula:



10 wherein

each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

15 each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when Z is hydrogen;

20 each Y is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  $-OSO_2-$ ,  $-C(O)NR^c-$ ,  $-C(O)O-$ ,  $-SO_2NR^c-$ ,  $-SO_2O-$ ,  $-P(O)(OR^c)O-$ ,  $-P(O)(OR^c)NR^c-$ ,  $-OP(O)(OR^c)O-$ ,  $-OP(O)(OR^c)NR^c-$ ,  $-OC(O)O-$ ,  $-NR^cC(O)O-$ ,  $-NR^cC(O)NR^c-$ ,  $-OC(O)NR^c-$  and  $-NR^cSO_2NR^c-$ ;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

25 each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,



cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$x$  is 1 or 2;

and pharmaceutically acceptable salts thereof;

provided that:

- 10 (i) when  $Y$  is  $-NR^c-$ ,  $R^c$  is alkyl of 1 to 4 carbon atoms,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;
- (ii) when  $Y$  is  $-C(O)NR^c-$ ,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;
- 15 (iii) when  $Y$  is sulfur,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 7 carbon atoms; and
- (iv) when  $Y$  is oxygen,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 11 carbon atoms.

37. The pharmaceutical composition of Claim 36, wherein the glycopeptide compound is substituted with from 1 to 3 substituents of the formula  $-R^a-Y-R^b-(Z)_x$ .

38. The pharmaceutical composition of Claim 37, wherein each  $R^a$  is independently selected from alkylene having from 1 to 10 carbon atoms.

39. The pharmaceutical composition of Claim 38, wherein  $R^a$  is ethylene or propylene.

40. The pharmaceutical composition of Claim 37, wherein Z is hydrogen and R<sup>b</sup> is alkylene of from 8 to 12 carbon atoms.

41. The pharmaceutical composition of Claim 40, wherein R<sup>b</sup> and Z form an *n*-octyl, *n*-nonyl, *n*-decyl, *n*-undecyl or *n*-dodecyl group.

5 42. The pharmaceutical composition of Claim 37, wherein Z is aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclic and R<sup>b</sup> is a covalent bond or alkylene of from 1 to 10 carbon atoms.

10 43. The pharmaceutical composition of Claim 42, wherein Z is aryl and R<sup>b</sup> is a covalent bond, methylene,  $-(CH_2)_6-$ ,  $-(CH_2)_7-$ ,  $-(CH_2)_8-$ ,  $-(CH_2)_9-$  or  $-(CH_2)_{10}-$ .

44. The pharmaceutical composition of Claim 37, wherein each Y is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  $-C(O)NR^c-$ ,  $-C(O)O-$  and  $-SO_2NR^c-$ .

15 45. The pharmaceutical composition of Claim 44, wherein Y is oxygen, sulfur,  $-NR^c-$  or  $-NR^cSO_2-$ .

46. The pharmaceutical composition of Claim 37, wherein each Z is independently selected from hydrogen, aryl, cycloalkyl, heteroaryl and heterocyclic.

20 47. The pharmaceutical composition of Claim 46, wherein Z is hydrogen or aryl.

48. The pharmaceutical composition of Claim 47, wherein Z is phenyl, substituted phenyl, biphenyl, substituted biphenyl or terphenyl.

49. The pharmaceutical composition of Claim 37, wherein the  $-R^a-Y-R^b-(Z)_x$  group is selected from the group consisting of:

- 5
- CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>;

10

  - CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>10</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;

15

  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>3</sub>-CH=CH-(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub> (*trans*);
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-S(O)-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;

20

  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-[4-(CH<sub>3</sub>)<sub>2</sub>CHCH<sub>2</sub>]-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-CF<sub>3</sub>-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;

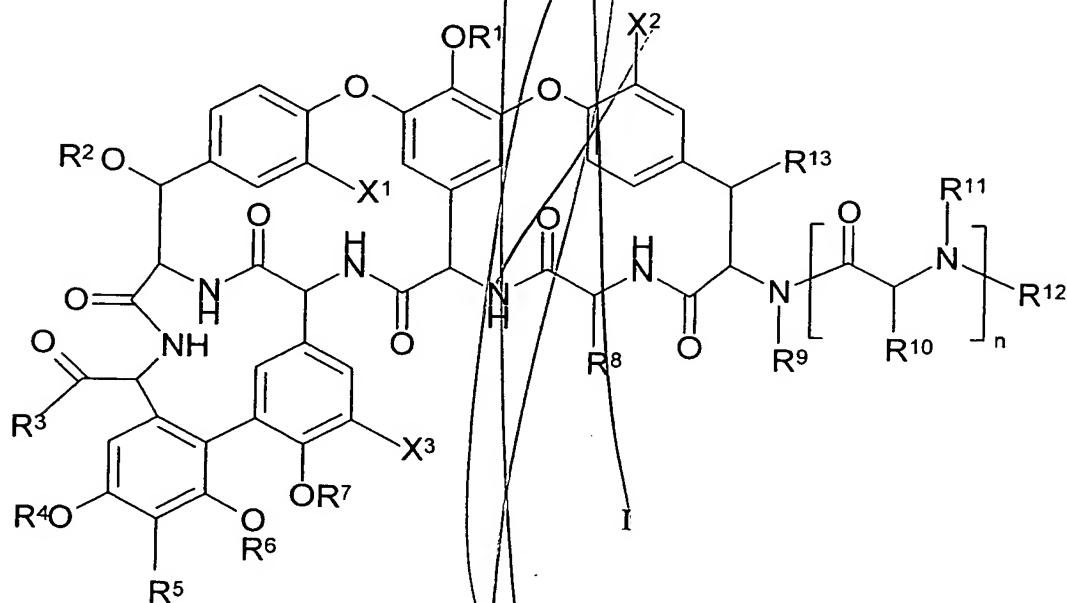
25

  - CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-[3,4-di-Cl-PhCH<sub>2</sub>O]-Ph;

- CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-[4-(4-Ph)-Ph]-Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(Ph-C≡C-)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(4-Cl-Ph)-Ph; and
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(naphth-2-yl)-Ph.

5

50. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of formula I:



10

wherein

R<sup>1</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>; or a saccharide group optionally substituted with -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>;

15

$R^2$  is hydrogen or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

$R^3$  is  $-OR^c$ ,  $-NR^cR^c$ ,  $-O-R^a-Y-R^b-(Z)_x$ ,  $-NR^c-R^a-Y-R^b-(Z)_x$ ,  $-NR^cR^c$ , or  $-O-R^c$ ;

5  $R^4$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

$R^5$  is selected from the group consisting of hydrogen, halo,  $-CH(R^c)-NR^cR^c$ ,  $-CH(R^c)-NR^cR^c$  and  $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$ ;

10  $R^6$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and a saccharide group optionally substituted with  $-NR^c-R^a-Y-R^b-(Z)_x$ , or  $R^5$  and  $R^6$  can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with  $-NR^c-R^a-Y-R^b-(Z)_x$ ;

15  $R^7$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ , and  $-C(O)R^d$ ;

$R^8$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

20

$R^9$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

25

$R^{10}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and

heterocyclic; or  $R^8$  and  $R^{10}$  are joined to form  $-Ar^1-O-Ar^2-$ , where  $Ar^1$  and  $Ar^2$  are independently arylene or heteroarylene;

5  $R^{11}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or  $R^{10}$  and  $R^{11}$  are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

10  $R^{12}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,  $-C(O)R^d$ ,  $-C(NH)R^d$ ,  $-C(O)NR^cR^c$ ,  $-C(O)OR^d$ ,  $-C(NH)NR^cR^c$  and  $-R^a-Y-R^b-(Z)_x$ , or  $R^{11}$  and  $R^{12}$  are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

15  $R^{13}$  is selected from the group consisting of hydrogen or  $-OR^{14}$ ;

$R^{14}$  is selected from hydrogen,  $-C(O)R^d$  and a saccharide group;

each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

20 each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when  $Z$  is hydrogen;

25 each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^c$  is a saccharide group;

$X^1$ ,  $X^2$  and  $X^3$  are independently selected from hydrogen or chloro;

5 each  $Y$  is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OSO_2-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  $-C(O)NR^c-$ ,  $-C(O)O-$ ,  $-SO_2NR^c-$ ,  $-SO_2O-$ ,  $-P(O)(OR^c)O-$ ,  $-P(O)(OR^c)NR^c-$ ,  $-OP(O)(OR^c)O-$ ,  $-OP(O)(OR^c)NR^c-$ ,  $-OC(O)O-$ ,  $-NR^cC(O)O-$ ,  $-NR^cC(O)NR^c-$ ,  $-OC(O)NR^c-$  and  $-NR^cSO_2NR^c-$ ;

10 each  $Z$  is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

$n$  is 0, 1 or 2;

$x$  is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

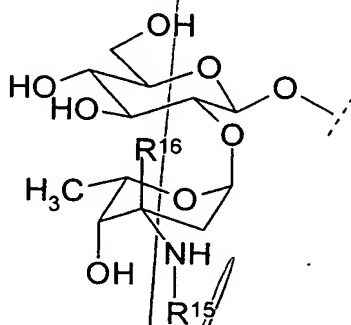
15 provided that at least one of  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  or  $R^{12}$  has a substituent of the formula  $-R^a-Y-R^b-(Z)_x$ ;

and further provided that:

- (i) when  $Y$  is  $-NR^c-$ ,  $R^c$  is alkyl of 1 to 4 carbon atoms,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;
- 20 (ii) when  $Y$  is  $-C(O)NR^c-$ ,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;
- (iii) when  $Y$  is sulfur,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 7 carbon atoms; and
- (iv) when  $Y$  is oxygen,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 11 carbon atoms.
- 25

51. The pharmaceutical composition of Claim 50, wherein  $R^1$  is a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ .

52. The pharmaceutical composition of Claim 51, wherein  $R^1$  is a saccharide group of the formula:



wherein

$R^{15}$  is  $-R^a-Y-R^b-(Z)_x$ ; and

$R^{16}$  is hydrogen or methyl.

53. The pharmaceutical composition of Claim 52, wherein  $R^{15}$  is a  $-R^a-Y-R^b-(Z)_x$  group selected from the group consisting of:

- CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>10</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>3</sub>-CH=CH-(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub> (*trans*);
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;



- CH<sub>2</sub>CH<sub>2</sub>-S(O)-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>Ph;
- CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;
- 5 -CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-[4-CH<sub>3</sub>)<sub>2</sub>CHCH<sub>2</sub>-]-Ph;
- CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-CF<sub>3</sub>-Ph)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
- 10 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-[3,4-di-Cl-PhCH<sub>2</sub>O-)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-[4-(4-Ph)-Ph]-Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
- 15 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(Ph-C≡C-)-Ph;
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(4-Cl-Ph)-Ph; and
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(naphth-2-yl)-Ph.

54. The pharmaceutical composition of Claim 50, wherein R<sup>3</sup> is -OH or -NR<sup>c</sup>R<sup>c</sup>.

20 55. The pharmaceutical composition of Claim 50, wherein R<sup>5</sup> is hydrogen, -CH<sub>2</sub>-N-(N-CH<sub>3</sub>-D-glucamine); -CH<sub>2</sub>-NH-CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>; -CH<sub>2</sub>-NH-CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>; -CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>5</sub>-COOH; and -CH<sub>2</sub>-N-(2-amino-2-deoxygluconic acid).

25 56. The pharmaceutical composition of Claim 50, wherein R<sup>8</sup> is -CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>COOH, benzyl, 4-hydroxyphenyl or 3-chloro-4-hydroxyphenyl.

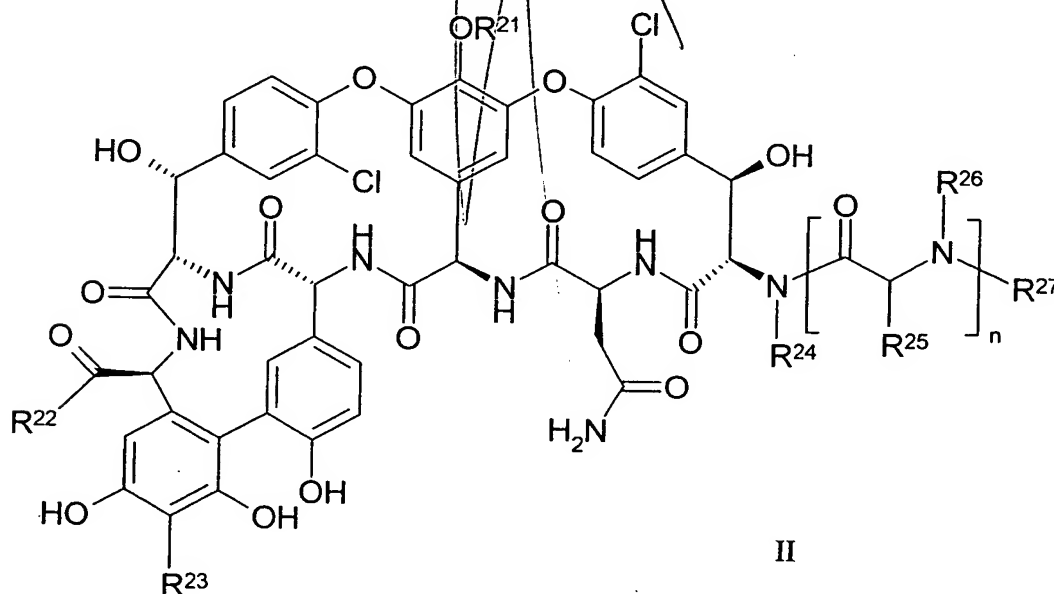
57. The pharmaceutical composition of Claim 50, wherein  $R^9$  is hydrogen and  $R^{11}$  is hydrogen or methyl.

58. The pharmaceutical composition of Claim 57, wherein  $R^{10}$  is alkyl or substituted alkyl.

59. The pharmaceutical composition of Claim 58, wherein  $R^{12}$  is hydrogen, alkyl, substituted alkyl or  $-C(O)R^d$ .

60. The pharmaceutical composition of Claim 50, wherein  $n$  is 1.

61. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of formula II:



wherein

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5  $R^{21}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-R^a-Y-R^b-(Z)_x$ ; or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

$R^{22}$  is  $-OR^c$ ,  $-NR^cR^c$ ,  $-O-R^a-Y-R^b-(Z)_x$  or  $-NR^c-R^a-Y-R^b-(Z)_x$ ;

$R^{23}$  is selected from the group consisting of hydrogen, halo,  $-CH(R^c)-NR^cR^c$ ,  $-CH(R^c)-R^c$  and  $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$ ;

$R^{24}$  is selected from the group consisting of hydrogen and lower alkyl;

10  $R^{25}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

15  $R^{26}$  is selected from the group consisting of hydrogen and lower alkyl; or  $R^{25}$  and  $R^{26}$  are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

20  $R^{27}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,  $-C(O)R^d$ ,  $-C(NH)R^d$ ,  $-C(O)NR^cR^c$ ,  $-C(O)OR^d$ ,  $-C(NH)NR^cR^c$  and  $-R^a-Y-R^b-(Z)_x$ , or  $R^{26}$  and  $R^{27}$  are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

25 each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when Z is hydrogen;

each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

5 each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^e$  is an aminosaccharide group;

10 each  $Y$  is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OSO_2-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  $-C(O)NR^c-$ ,  $-C(O)O-$ ,  $-SO_2NR^c-$ ,  $-SO_2O-$ ,  $-P(O)(OR^c)O-$ ,  $-P(O)(OR^c)NR^c-$ ,  $-OP(O)(OR^c)O-$ ,  $-OP(O)(OR^c)NR^c-$ ,  $-OC(O)O-$ ,  $-NR^cC(O)O-$ ,  $-NR^cC(O)NR^c-$ ,  $-OC(O)NR^c-$  and  $-NR^cSO_2NR^c-$ ;

15 each  $Z$  is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

$n$  is 0, 1 or 2;

$x$  is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

20 provided that at least one of  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$  or  $R^{27}$  has a substituent of the formula  $-R^a-Y-R^b-(Z)_x$ ;

and further provided that:

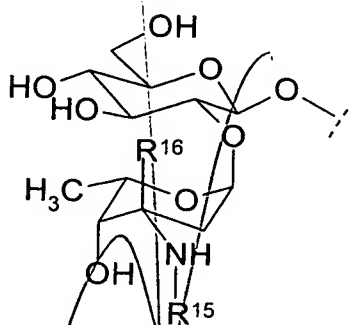
(i) when  $Y$  is  $-NR^c-$ ,  $R^c$  is alkyl of 1 to 4 carbon atoms,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;

25 (ii) when  $Y$  is  $-C(O)NR^c-$ ,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 5 carbon atoms;

(iii) when  $Y$  is sulfur,  $Z$  is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and  $R^b$  is alkylene, then  $R^b$  contains at least 11 carbon atoms.

62. The pharmaceutical composition of Claim 61, wherein  $R^{21}$  is a saccharide group of the formula:



5

wherein

$R^{15}$  is  $-R^a-Y-R^b-(Z)_x$ , and

$R^{16}$  is hydrogen or methyl.

63. The pharmaceutical composition of Claim 62, wherein  $R^{15}$  is a  $-R^a-Y-R^b-(Z)_x$  group selected from the group consisting of:

10

$-\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_9\text{CH}_3$ ;

$-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_8\text{CH}_3$ ;

$-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_7\text{CH}_3$ ;

$-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_9\text{CH}_3$ ;

$-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_{11}\text{CH}_3$ ;

15

$-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$ ;

$-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3$ ;

$-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_{10}\text{CH}_3$ ;

$-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$ ;

- 5
- CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>3</sub>-CH=CH-(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub> (*trans*);
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-S(O)-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;
  - CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-[4-CH<sub>3</sub>)<sub>2</sub>CHCH<sub>2</sub>-]-Ph;

10

  - CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-CF<sub>3</sub>-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;

15

  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-[3,4-di-Cl-PhCH<sub>2</sub>O-)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-[4-(4-Ph)-Ph]-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(Ph-C≡C-)-Ph;
  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(4-Cl-Ph)-Ph; and

20

  - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(naphth-2-yl)-Ph.

64. The pharmaceutical composition of Claim 61, wherein R<sup>22</sup> is -OH or -NR<sup>c</sup>R<sup>c</sup>.

65. The pharmaceutical composition of Claim 61, wherein R<sup>23</sup> is hydrogen, -CH<sub>2</sub>-N-(N-CH<sub>3</sub>-D-glucamine); -CH<sub>2</sub>-NH-CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>; -  
 25 CH<sub>2</sub>-NH-CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>; -CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>5</sub>-COOH; or -CH<sub>2</sub>-N-(2-amino-2-deoxygluconic acid).

66. The pharmaceutical composition of Claim 61, wherein  $R^{24}$  is hydrogen and  $R^{26}$  is hydrogen or methyl.

67. The pharmaceutical composition of Claim 66, wherein  $R^{25}$  is alkyl or substituted alkyl.

5 68. The pharmaceutical composition of Claim 67, wherein  $R^{25}$  is isobutyl.

69. The pharmaceutical composition of Claim 68, wherein  $R^{27}$  is hydrogen, alkyl, substituted alkyl or  $-C(O)R^d$ .

10 70. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound shown in any of Tables I, II, III, IV, V or VI, or a pharmaceutically-acceptable salt thereof.

71. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of Claim 36, 50 or 61.

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